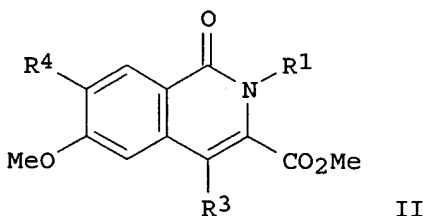
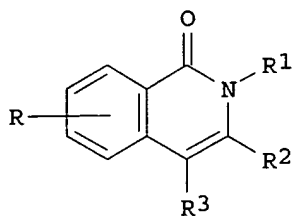


ACCESSION NUMBER: 1998:608601 HCAPLUS  
 DOCUMENT NUMBER: 129:216521  
 TITLE: Preparation of 1-isoquinolinone-3-carboxylates  
 as PDE V inhibitors  
 INVENTOR(S): Ukita, Tatsuzo; Omori, Kenji; Ikeo, Tomihiro  
 PATENT ASSIGNEE(S): Tanabe Seiyaku Co., Ltd., Japan  
 SOURCE: PCT Int. Appl., 299 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9838168	A1	19980903	WO 1998-JP715	1998 0223
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG AU 9862300 A1 19980918 AU 1998-62300 1998 0223 JP 10298164 A2 19981110 JP 1998-44139 1998 0226 PRIORITY APPLN. INFO.: JP 1997-44408 A 1997 0227 WO 1998-JP715 W 1998 0223				

OTHER SOURCE(S): MARPAT 129:216521  
 GI



AB Title compds. [I; R = H or substituent(s); R1 = H, NH2,  
 (cyclo)alkyl, heterocyclyl, aryl, etc.; R2 = (esterified) CO2H,  
 CONH2, N-attached heterocyclylcarbonyl, etc.; R3 = (un)substituted

Ph] were prepared as PDE V inhibitors (no data). Thus, 5-benzyloxy-4-methoxy-2-(3,4,5-trimethoxybenzoyl)benzoic acid was cyclocondensed with  $\text{CH}_2(\text{CO}_2\text{CMe}_3)_2$  and the hydrated product cyclocondensed with 4-( $\text{H}_2\text{N}$ ) $\text{C}_6\text{H}_4\text{NHCOCMe}_3$  to give, in 4 addnl. steps, title compound II [ $\text{R}_1 = \text{C}_6\text{H}_4(\text{NH}_2)-4$ ,  $\text{R}_3 = \text{C}_6\text{H}_2(\text{OMe})_3-3,4,5$ ,  $\text{R}_4 = 2\text{-pyridylmethoxy}$ ].

IT 212498-74-3P 212499-20-2P 212499-85-9P

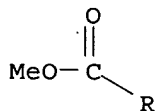
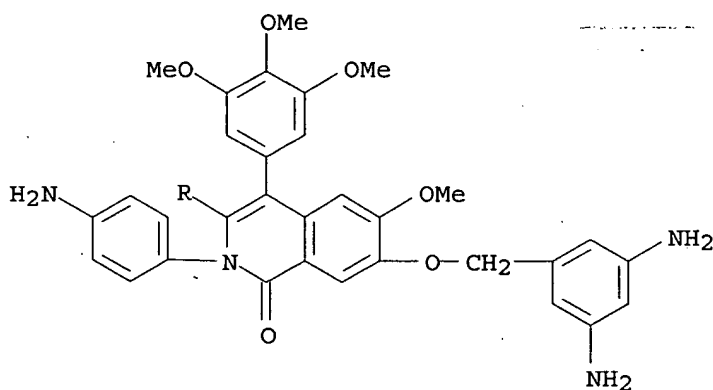
212500-32-8P 212500-49-7P 212500-73-7P

(preparation of 1-isoquinolinone-3-carboxylates as PDE V inhibitors)

RN 212498-74-3 HCAPLUS

CN 3-Isoquinolinecarboxylic acid, 2-(4-aminophenyl)-7-[(3,5-diaminophenyl)methoxy]-1,2-dihydro-6-methoxy-1-oxo-4-(3,4,5-trimethoxyphenyl)-, methyl ester, trihydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A



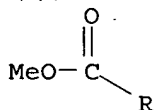
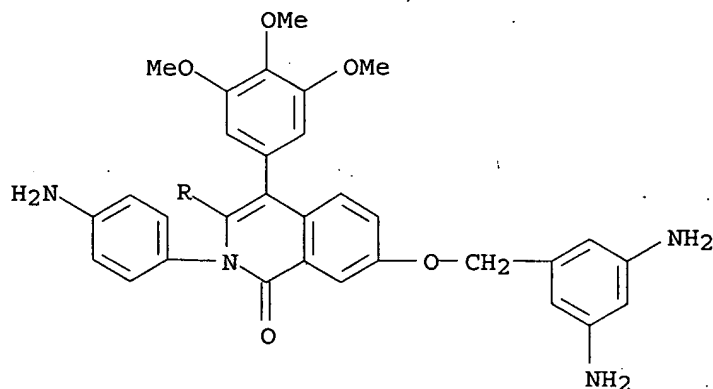
PAGE 2-A

● 3 HCl

RN 212499-20-2 HCAPLUS

CN 3-Isoquinolinecarboxylic acid, 2-(4-aminophenyl)-7-[(3,5-diaminophenyl)methoxy]-1,2-dihydro-1-oxo-4-(3,4,5-trimethoxyphenyl)-, methyl ester, trihydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A

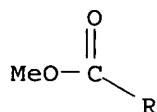
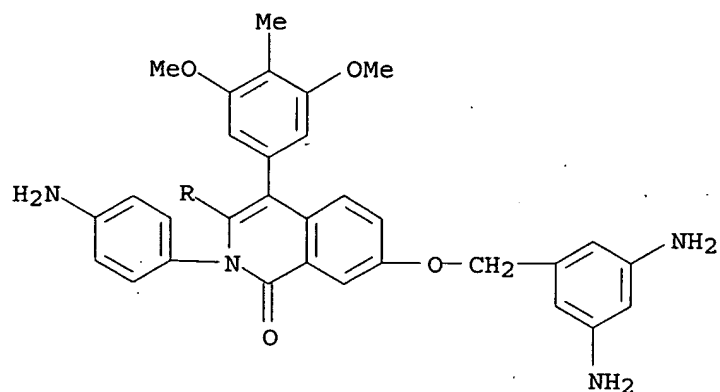


PAGE 2-A

● 3 HCl

RN 212499-85-9 HCAPLUS  
 CN 3-Isoquinolinecarboxylic acid, 2-(4-aminophenyl)-7-[(3,5-diaminophenyl)methoxy]-4-(3,5-dimethoxy-4-methylphenyl)-1,2-dihydro-1-oxo-, methyl ester, trihydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A

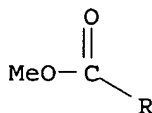
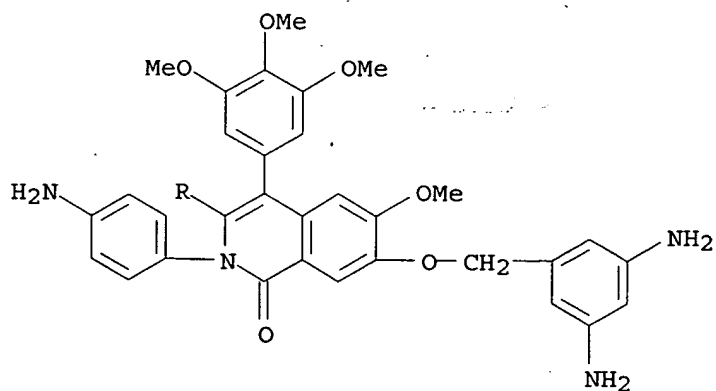


PAGE 2-A

● 3 HCl

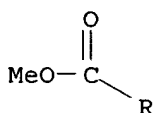
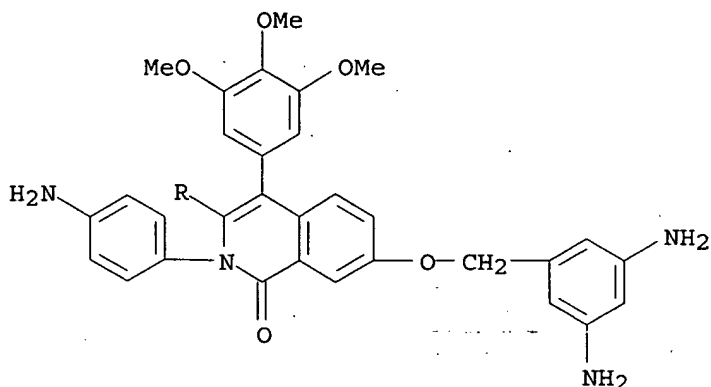
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CN 3-Isoquinolinecarboxylic acid, 2-(4-aminophenyl)-7-[(3,5-diaminophenyl)methoxy]-1,2-dihydro-6-methoxy-1-oxo-4-(3,4,5-trimethoxyphenyl)-, methyl ester (9CI) (CA INDEX NAME)

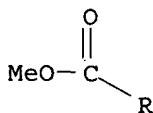
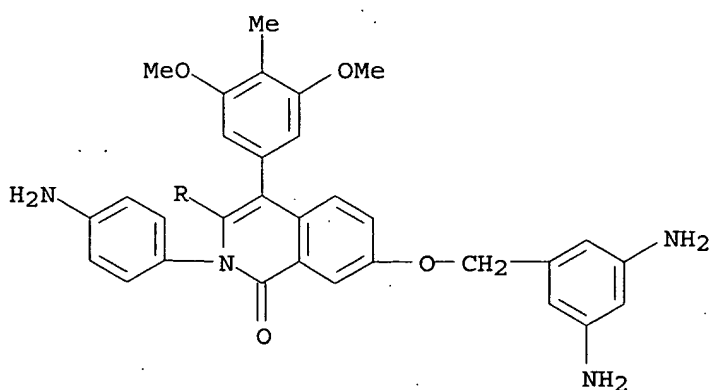


USHA SHRESTHA EIC 1600 REM 1A64

RN 212500-49-7 HCAPLUS  
 CN 3-Isoquinolinecarboxylic acid, 2-(4-aminophenyl)-7-[(3,5-diaminophenyl)methoxy]-1,2-dihydro-1-oxo-4-(3,4,5-trimethoxyphenyl)-, methyl ester (9CI) (CA INDEX NAME)



RN 212500-73-7 HCAPLUS  
 CN 3-Isoquinolinecarboxylic acid, 2-(4-aminophenyl)-7-[(3,5-diaminophenyl)methoxy]-4-(3,5-dimethoxy-4-methylphenyl)-1,2-dihydro-1-oxo-, methyl ester (9CI) (CA INDEX NAME)



IC ICM C07D217-26  
 ICS A61K031-47; C07D401-12; C07D409-12; C07D401-04; C07D401-06;